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L2        23620 S SSS L1 FULL

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L4          112 S L3 AND ((DIABETES OR "DIABETES INSIPIDUS") OR   "DIABETES MEL
L5           11 S L4 AND PD <=2003

=> d ibib abs 1-11

L5   ANSWER 1 OF 11  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2007:874096  CAPLUS
DOCUMENT NUMBER:          147:235407
TITLE:                    Preparation of nucleosides as partial and full
                          agonists of A1 adenosine receptors
INVENTOR(S):              Dhalla, Arvinder; Elzein, Elfatih; Ibrahim, Prabha;
                          Palle, Venkata; Varkhedkar, Vaibhav; Zablocki, Jeff
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 52pp., Cont.-in-part of U.S.
                          Ser. No. 855,471.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   4
PATENT INFORMATION:

     PATENT NO.            KIND   DATE        APPLICATION NO.          DATE
     --------------        ----   --------    -------------------      --------
     US 20070185051         A1    20070809    US 2006-641234           20061218
     US 20030050275         A1    20030313    US 2002-194335           20020711 <--
     US 6946449             B2    20050920
     US 20050020532         A1    20050127    US 2004-855471           20040527
     US 7157440             B2    20070102
     WO 2008077050          A1    20080626    WO 2007-US87957          20071218
          W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
              CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
              GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
              KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
              MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
              PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
              TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
          RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
              GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
              BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:                        US 2002-194335      A2 20020711
                                              US 2004-855471      A2 20040527
                                              US 2001-305329P     P  20010713
                                              US 2006-641234      A  20061218
OTHER SOURCE(S):          MARPAT 147:235407
GI
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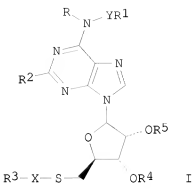

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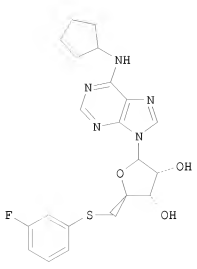

II

AB Nucleosides I were prepared, wherein R is hydrogen or lower alkyl; R1 is alkyl, cycloalkyl, aryl, or heteroaryl; R and YR3 when taken together with the nitrogen atom to which they are attached represents heterocyclyl; R2 is hydrogen, halo, trifluoromethyl, acyl, or cyano; R2 is cycloalkyl, aryl; heteroaryl, or heterocyclyl, R4 and R5 are independently hydrogen or acyl; and X and Y are independently a covalent bond or alkylene; with the proviso that when R3 is Me and Y is a covalent bond, R3 cannot be Ph when X is methylene or ethylene that are that are partial and full A1 adenosine receptor agonists, useful for treating various disease states, in particular dyslipidemia, **diabetes**, decreased insulin sensitivity, polycystic ovarian syndrome, and **obesity**. The disease state is chosen from atrial fibrillation, supraventricular tachycardias and atrial flutter, congestive heart failure, antilipolytic effects in adipocytes, epilepsy, stroke, dyslipidemia, **obesity**, **diabetes**, insulin resistance, Polycystic Ovarian Syndrome, Stein-Leventhal syndrome, decreased **glucose tolerance**, non-insulin-dependent **diabetes mellitus**, Type II **diabetes**, Type I **diabetes**, ischemia, including stable angina, unstable angina, cardiac transplant, and myocardial infarction. Thus, (4S,5S,3R)-2-[6-(cyclopentylamino)purin-9-yl]-5-[(2-fluorophenylthio)methyl]oxolane-3,4-diol was prepared and tested in rats as agonist of A1 adenosine receptor.

L5 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2004:533962 CAPLUS
DOCUMENT NUMBER:	141:82335
TITLE:	Human glucagon-like-peptide-1 mimics and their antidiabetic effects
INVENTOR(S):	Natarajan, Sesha Iyer; Mapelli, Claudio; Bastos, Margarita M.; Bernatowicz, Michael; Lee, Ving; Ewing, William R.
PATENT ASSIGNEE(S):	Bristol-Myers Squibb Company, USA
SOURCE:	U.S. Pat. Appl. Publ., 73 pp., Cont.-in-part of U.S. Ser. No. 273,975. CODEN: USXXCO
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 20040127423	A1	20040701	US 2003-419399	20030421	
US 7238671	B2	20070703			
US 20030195157	A1	20031016	US 2002-273975	20021018	<--
US 7238670	B2	20070703			
WO 2004094461	A2	20041104	WO 2004-US12374	20040421	
WO 2004094461	A3	20050915			

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1615653	A2	20060118	EP 2004-760098	20040421

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

US 20070287670	A1	20071213	US 2007-740031	20070425

PRIORITY APPLN. INFO.:

US 2001-342015P	P	20011018
US 2002-273975	A2	20021018
US 2003-419399	A	20030421
WO 2004-US12374	W	20040421

AB The invention discloses human glucagon-like peptide-1 (GLP-1) peptide mimics that mimic the biol. activity of the native GLP-1 peptide and thus are useful for the treatment or prevention of diseases or disorders associated with GLP activity. Further, the invention provides novel, chemical modified peptides that not only stimulate insulin secretion in type II diabetics, but also produce other beneficial insulinotropic responses. These synthetic peptide GLP-1 mimics exhibit increased stability to proteolytic cleavage making them ideal therapeutic candidates for oral or parenteral administration.

REFERENCE COUNT: 122 THERE ARE 122 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:719457 CAPLUS

DOCUMENT NUMBER: 139:245779

TITLE: Preparation of phenoxyalkanoic acid derivatives as hPPAR activators for treatment of **diabetes** and cardiovascular diseases

INVENTOR(S): Cadilla, Rodolfo; Henke, Brad Richard; Lambert, Millard H., III; Liu, Guangcheng Kevin; Smith, Jennifer Susan

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 174 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2003074495	A1	20030912	WO 2003-US5953	20030225	<--

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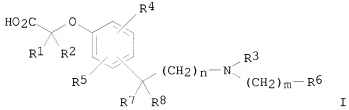
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003224632	A1	20030916	AU 2003-224632		20030225 <--
EP 1480957	A1	20041201	EP 2003-721310		20030225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005532272	T	20051027	JP 2003-572964		20030225
US 20050137212	A1	20050623	US 2004-505333		20040823
US 7319104	B2	20080115			
PRIORITY APPLN. INFO.:			US 2002-360975P	P	20020301
			WO 2003-US5953	W	20030225

OTHER SOURCE(S): MARPAT 139:245779

GI



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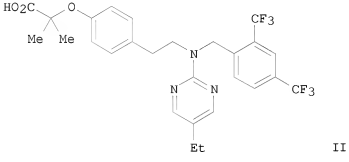

II

AB Title compds. I [wherein R1 and R2 = independently H, F, CF3, or alkyl; or CR1R2 = cycloalkyl; R3 = (un)substituted heteroaryl; R4 and R5 = independently H, (perfluoro)alkyl, (perfluoro)alkoxy, halo, or CN; R6 = (un)substituted Ph or heteroaryl; R7 and R8 = independently H, F, CF3, or alkyl with the proviso that the C to which R7 and R8 are bonded is either meta or para to the depicted O; m and n = independently 1-2; or pharmaceutically acceptable salts, solvates, acid isosteres, or hydrolyzable esters thereof] were prepared as human peroxisome proliferator activated receptor (hPPAR) activators (no data). For example, Me 2-[4-[2-[[2,4-bis(trifluoromethyl)benzyl]amino]ethyl]phenoxy]-2-methylpropanoate was coupled with 2-chloro-5-ethylpyrimidine using DIEA in toluene to give the tertiary amine (38%). Hydrolysis of the ester with NaOH provided II (48%). Methods for treating diseases or conditions associated with hPPARα, hPPARγ, or hPPARδ, such as **diabetes** and cardiovascular diseases, comprising administration of

a therapeutically effective amount of I or a pharmaceutical composition comprising I are also disclosed (no data).
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:719254 CAPLUS
DOCUMENT NUMBER: 139:250279
TITLE: Compounds that modulate the activity of PTP-1B and TC-PTP
INVENTOR(S): Barr, Kenneth; Fahr, Bruce; Hansen, Stig; Wiesmann, Christian
PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2003073987	A2	20030912	WO 2003-US5950	20030226	<--
WO 2003073987	A3	20050331			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 20030195247	A1	20031016	US 2003-374539	20030225	<--
US 6784205	B2	20040831			
CA 2477119	A1	20030912	CA 2003-2477119	20030226	<--
AU 2003217766	A1	20030916	AU 2003-217766	20030226	<--
EP 1534264	A2	20050601	EP 2003-713729	20030226	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005526051	T	20050902	JP 2003-572509	20030226	
US 20040147596	A1	20040729	US 2004-788564	20040227	

PRIORITY APPLN. INFO.:
US 2002-361475P P 20020301
US 2003-374539 A 20030225
WO 2003-US5950 W 20030226

OTHER SOURCE(S): MARPAT 139:250279
AB The present invention relates to a new and improved method for treating **diabetes** and or its associated complications by modulating the activity of protein tyrosine phosphatase 1B (PTP-1B). The inventive compds. modulate the activity PTP-1B by binding to a novel binding site referred herein as the PTP-1B exosite that is distal to the active site of PTP-1B. The present invention also relates to a new and improved method of treating immune system disorders by modulating the activity of T-cell protein tyrosine phosphatase (TC-PTP). The inventive compds. modulate the activity of TC-PTP by binding to a novel binding site referred herein as the TC-PTP exosite that is distal to the active site of PTP-1B.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:693065 CAPLUS
DOCUMENT NUMBER: 139:180302
TITLE: Preparation of nucleosides as partial and full agonists of A1 adenosine receptors
INVENTOR(S): Elzein, Elfatih; Ibrahim, Prabha N.; Palle, Venkata; Varkhedkar, Vaibhav; Zablocki, Jeff
PATENT ASSIGNEE(S): CV Therapeutics, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 25 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030050275	A1	20030313	US 2002-194335	20020711 <--
US 6946449	B2	20050920		
WO 2004007519	A1	20040122	WO 2003-US11810	20030415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003223653	A1	20040202	AU 2003-223653	20030415
US 20050020532	A1	20050127	US 2004-855471	20040527
US 7157440	B2	20070102		
US 20070066560	A1	20070322	US 2006-600523	20061115
US 20070185051	A1	20070809	US 2006-641234	20061218

PRIORITY APPLN. INFO.:

US 2001-305329P	P	20010713
US 2002-194335	A	20020711
WO 2003-US11810	W	20030415
US 2004-855471	A3	20040527

OTHER SOURCE(S): MARPAT 139:180302
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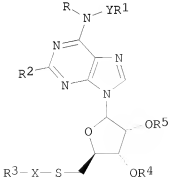

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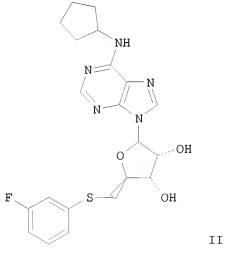

II

AB Disclosed are nucleosides I, were prepared wherein: R is hydrogen or lower alkyl; R1 is alkyl, cycloalkyl, aryl, or heteroaryl; R and YR3 when taken together with the nitrogen atom to which they are attached represents heterocyclyl; R2 is hydrogen, halo, trifluoromethyl, acyl, or cyano; R2 is cycloalkyl, aryl; heteroaryl, or heterocyclyl, R4 and R5 are independently hydrogen or acyl; and X and Y are independently a covalent bond or alkylene; with the proviso that when R3 is Me and Y is a covalent bond, R3 cannot be Ph when X is methylene or ethylene that are partial and full A1 adenosine receptor agonists, useful for treating various disease states, in particular atrial fibrillation, supraventricular tachycardia and atrial flutter, congestive heart failure, epilepsy, stroke, **diabetes**, **obesity**, ischemia, stable angina, unstable angina, cardiac transplant, and myocardial infarction. Thus, nucleoside II was prepared as partial and full agonist of A1 adenosine receptor for treatment of heart diseases. Various formulation such as tablets, capsules, suppositories, injection, are reported.

L5 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:688976 CAPLUS
DOCUMENT NUMBER: 139:230483
TITLE: Preparation of aroyl hydrazides and related compounds as glucagon antagonists/inverse agonists
INVENTOR(S): Ling, Anthony; Gregor, Vlad; Gonzalez, Javier; Hong, Yufeng; Kiel, Dan; Kuki, Atsuo; Shi, Shenghua; Naerum, Lars; Madsen, Peter; Sams, Christian; Lau, Jesper; Plewe, Michael Bruno; Feng, Jun; Teng, Min; Johnson, Michael David; Teston, Kimberly Ann; Sidelmann, Ulla Grove; Knudsen, Lotte Bjerre
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: U.S., 370 pp., Cont.-in-part of U.S. Ser. No. 107,400.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6613942	B1	20030902	US 1998-220003	19981223 <--
ZA 9805759	A	19990125	ZA 1998-5759	19980701 <--
WO 2000039088	A1	20000706	WO 1999-DK705	19991216 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140823	A1	20011010	EP 1999-960939	19991216 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002533439	T	20021008	JP 2000-591000	19991216 <--

PRIORITY APPLN. INFO.:

US 1997-886785	A2	19970701
US 1998-32516	A2	19980227
US 1998-107400	A2	19980630
US 1998-220003	A	19981223
WO 1999-DK705	W	19991216

OTHER SOURCE(S): MARPAT 139:230483
AB AXNR3NR1CR2R4(CH2)nBKmD [R1, R2 H, alkyl; R1R2 = bond; R3, R4 = H, alkyl;

n = 0-3; m = 0, 1; X = CO, CS, CNR5, SO2; R5 = H, alkyl, aralkyl, OR6; R6 = H, alkyl, aryl, aralkyl; A = (substituted) Ph, pyridyl, pyrimidyl, naphthyl, indolyl, benzotriazolyl, benzimidazolyl, triazolyl, pyrazolyl, imidazolyl, etc.; B = (substituted) azinyl, benzazinyl, naphthyl, azolyl, etc.; D = H, (substituted) Ph, azinyl, benzazinyl, naphthyl, azolyl, etc.; K = Lc(CH2)b(CR3aR3b)p(CH2)aMf(CH2)c(CR4aR4b)q(CH2)d; R3a, R3b, R4a, R4b = H, halo, CN, CF3, OCF3, OCH2CF3, NO2, OR24, NR24aR25a, alkyl, aryl, aralkyl, SCF3, SR24a, CHF2, OCHF2, OCF2CHF2, OSO2CF3, CONR24aR25a, CH2CONR24aR25a, OCH2CONR24aR25a, CH2OR24a, CH2NR24aR25a, O2CR24a, CO2R24a; R24 = H, alkyl, aryl, aralkyl, etc.; R24a, R25a = H, COR26a, SO2R26a, alkyl, aryl, aralkyl; R26a = H, alkyl, aryl, aralkyl; R3aR3b, R4a R4b, R3aR4b = (CH2)i; i = 1-4; a, b, c, d = 0-4; e, f, p = 0-1; L, M = O, S, CH:CH, C.tplbond.C, CO, SO, SO2, etc.], were prepared as antidiabetics (no data). Thus, 3-chloro-4-hydroxybenzoic acid hydrazide, 4-(3,5-bis-trifluoromethylbenzyloxy)-1-naphthaldehyde, and catalytic HOAc were stirred together overnight in Me2SO to give 3-chloro-4-hydroxybenzoic acid [4-(3,5-bis-trifluoromethylbenzyloxy)-1-naphthylmethylene]hydrazide. Pharmaceutical compns. containing title compds. are claimed.

REFERENCE COUNT: 108 THERE ARE 108 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:472498 CAPLUS
DOCUMENT NUMBER: 139:36523
TITLE: Preparation of thiazolidinones and oxazolidinones for the inhibition of phosphatases and the treatment of cancer
INVENTOR(S): Pfahl, Magnus; Al-shamma, Hussien A.; Fanjul, Andrea N.; Pleynet, David P. M.; Bao, Haifeng; Spruce, Lyle W.; Cow, Christopher N.; Tachdjian, Catherine; Zapt, James W.; Wiemann, Torsten R.
PATENT ASSIGNEE(S): Maxia Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050098	A1	20030619	WO 2002-US39178	20021206 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469342	A1	20030619	CA 2002-2469342	20021206 <--
AU 2002357098	A1	20030623	AU 2002-357098	20021206 <--
US 20040097566	A1	20040520	US 2002-313341	20021206
EP 1463718	A1	20041006	EP 2002-804747	20021206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: US 2001-337195P P 20011206
WO 2002-US39178 W 20021206

OTHER SOURCE(S): MARPAT 139:36523
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title heterocycles I and II [wherein Ar1 = (un)substituted Ph; Ar2 = (un)substituted (hetero)aryl; R1 = H, OH, alkoxy, or (un)substituted alkyl; W = S or O; X = S or O; Y = organic radical comprising 1-15 C atoms; and pharmaceutically acceptable salts thereof] were prepared as phosphatase inhibitors. For example, 3-fluoro-4-hydroxybromobenzene was alkylated with 1-adamantanol to give 3-(adamantan-1-yl)-4-hydroxy-5-fluorobromobenzene (45%), which was O-protected with t-butyldimethylsilyl chloride (94%). Coupling with 3-formylphenylboronic acid in the presence of Na2CO3 and Pd(PPh3)4 in toluene, EtOH, and H2O afforded the substituted benzaldehyde (77%). Deprotection (80%) followed by condensation with rhodanine and reaction with morpholine in AcOH and toluene provided III (73%). Representative compds. of the invention inhibited recombinant human Cdc25A at concns. of 1 μM and 10 μM and killed significant percentages of breast cancer, prostate cancer, non-small-cell lung cancer, and pancreatic cancer cells at concns. in the range of 10-7 M to 10-5 M or higher. Thus, I, II, and pharmaceutical compns. thereof are useful in the treatment of diseases related to uncontrolled cellular proliferation, such as cancer or precancerous conditions. In addition, I and II are also useful for modulating lipid and/or carbohydrate metabolism, and treating Type II **diabetes**, hyperglycemia, or **obesity**, and for treating inflammatory diseases, such as arthritis (no data).

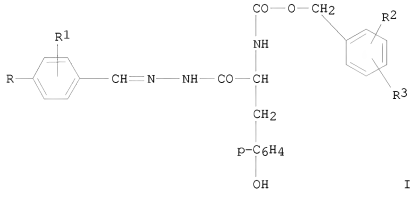
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:76744 CAPLUS
DOCUMENT NUMBER: 138:122859
TITLE: Synthesis of tyrosine hydrazides for use as medicaments in treating disease
INVENTOR(S): Eggenweiler, Hans-Michael; Wolf, Michael; Beier, Norbert; Leibrock, Joachim; Schelling, Pierre; Gassen, Michael; Ehring, Thomas
PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003008373	A1	20030130	WO 2002-EP7113	20020627 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10135248	A1	20030130	DE 2001-10135248	20010719 <--

DE 10156230	A1	20030605	DE 2001-10156230		20011115	<--
AU 2002321128	A1	20030303	AU 2002-321128		20020627	<--
PRIORITY APPLN. INFO.:			DE 2001-10135248	A	20010719	
			DE 2001-10156230	A	20011115	
			WO 2002-EP7113	W	20020627	

OTHER SOURCE(S): MARPAT 138:122859
GI



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AB The invention relates to tyrosine hydrazides (I), [R, R1 = independently H, OH, OR5, SR5, SOR5, SO2R5, halogen, or together -OCH2O-; R2, R3 independently = H, OH, OR5, SR5, SOR5, SO2R5, R5, halogen or together -OCH2O-; R5 = (F and/or Cl substituted)-alkyl, cycloalkyl, alkylene-cycloalkyl, or alkenyl], in L-, D-, or DL-form, and to the physiol. acceptable salts and/or solvates thereof. Said tyrosine hydrazides inhibit phosphodiesterase IV (no data) and can be used for treating the following diseases: allergic complaints, asthma, chronic bronchitis, atopic dermatitis, psoriasis and other skin diseases, inflammatory diseases, auto-immune diseases, such as e.g. rheumatoid arthritis, multiple sclerosis, Crohn's disease, **diabetes** or ulcerative colitis, osteoporosis, transplant rejection reactions, cachexia, tumor growth or tumor metastases, sepsis, memory defects, arteriosclerosis and AIDS (no data). Said tyrosine hydrazides can also be used to inhibit the formation of TNFα. Thus, L-[1-hydrazinocarbonyl-2-(4-hydroxyphenyl)ethyl]carbamic acid benzyl ester was reacted with 3-ethoxy-4-methoxy-benzaldehyde to give L-I (R = MeO, R1 = EtO; R2, R3 = H) (no data).

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2003:57866 CAPLUS
DOCUMENT NUMBER:	138:117673
TITLE:	Tetracycline compounds having target therapeutic activities
INVENTOR(S):	Levy, Stuart B.; Draper, Michael; Nelson, Mark L.; Jones, Graham
PATENT ASSIGNEE(S):	Paratek Pharmaceuticals, Inc., USA
SOURCE:	PCT Int. Appl., 158 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003005971	A2	20030123	WO 2002-US22451	20020715 <--
WO 2003005971	A3	20031127		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002318238	A1	20030129	AU 2002-318238	20020715 <--
US 20040063674	A1	20040401	US 2002-196010	20020715
EP 1408987	A2	20040421	EP 2002-748169	20020715

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

JP 2004537544	T	20041216	JP 2003-511780	20020715
US 20060194773	A1	20060831	US 2004-996119	20041122
PRIORITY APPLN. INFO.:			US 2001-305546P	P 20010713
			US 2002-395741P	P 20020712
			US 2002-196010	A2 20020715
			WO 2002-US22451	W 20020715
			US 2003-441141P	P 20030116
			US 2004-759484	B1 20040116

OTHER SOURCE(S): MARPAT 138:117673

AB Methods and compds. for treating a variety of diseases with tetracycline compds. having a target therapeutic activity are described, as is compound preparation

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:43013 CAPLUS
DOCUMENT NUMBER: 138:73001
TITLE: Preparation of pyruvate derivatives for treating conditions characterized by oxidative stress
INVENTOR(S): Wang, Bing; Miller, Guy; Flaim, Stephen F.; Del Balzo, Ughetta; Zhang, Wei; Janagani, Satyanarayana; Song, Jiangao
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 56 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030013656	A1	20030116	US 2002-138726	20020503 <--
US 20030100750	A1	20030529	US 2002-138032	20020503 <--
US 6608196	B2	20030819		
AT 408593	T	20081015	AT 2002-769325	20020503
PRIORITY APPLN. INFO.:			US 2001-288649P	P 20010503
			US 2001-295314P	P 20010601
			US 2002-368456P	P 20020323

OTHER SOURCE(S): MARPAT 138:73001

AB Pyruvate derivs. A-X-CH2C(:W)CO-Z and A-X-CH:C(W)CO-Z [A = (un)substituted

(cyclo)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, heterocycloalkyl, nucleoside, amino acid, di-, tri- or tetrapeptide, CH2COCO2R', or CH:C(OH)CO2R', where R' = H, (un)substituted (cyclo)alkyl or aryl; X = NR', S, SO, SO2, S-Y-S [Y = (un)substituted aryl, heteroaryl, nucleoside, amino acid, di, tri- or tetrapeptide], or a covalent bond to the sulfur atom of Cys or to the nitrogen atom of optionally substituted heterocyclyl; W = :O, :NORa, :NNRbRc, or N(OH)Rd, where Ra = H, (un)substituted alkyl, aryl, aralkyl, or alkenyl; Rb = H, (un)substituted (cyclo)alkyl, aryl, or aralkyl; Rc = H or (un)substituted alkyl; or RbRcN = 5- to 7-membered heterocyclyl; Rd = H, acyl, or (un)substituted alkyl; Z = OR, SR, or NRbRc, where R = (un)substituted (cyclo)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or heterocycloalkyl] or their pharmaceutically-acceptable salts were prepared for treating a number of conditions characterized by oxidative stress. Certain known and novel pyruvate derivs. are particularly active in restoring or preserving metabolic integrity in oxidatively competent cells that have been subjected to oxygen deprivation. Thus, 2-amino-4-[1-(carboxymethylcarbamoyl)-2-[2-oxo-2-(pentyloxycarbonyl)ethylsulfanyl]ethylcarbamoyl]butyric acid (claimed compound) was prepared from 3-bromopyruvic acid, pentanol, and glutathione.

L5 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:42258 CAPLUS
DOCUMENT NUMBER: 138:106714
TITLE: Preparation of substituted piperazines and diazepanes as histamine H3 receptor agonists
INVENTOR(S): Doerwald, Florencio Zaragoza; Andersen, Knud Erik; Sorensen, Jan Lindy
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Boehringer Ingelheim International G.m.b.H.
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004480	A2	20030116	WO 2002-DK438	20020627 <--
WO 2003004480	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002344951	A1	20030121	AU 2002-344951	20020627 <--
US 20040019039	A1	20040129	US 2002-185861	20020627
US 7208497	B2	20070424		
EP 1421071	A2	20040526	EP 2002-742851	20020627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005502623	T	20050127	JP 2003-510647	20020627
US 20080113968	A1	20080515	US 2007-784967	20070410
PRIORITY APPLN. INFO.:			DK 2001-1046 A	20010702
			DK 2001-1878 A	20011214

US 2001-304371P	P	20010710
US 2001-342871P	P	20011217
US 2002-185861	A1	20020627
WO 2002-DK438	W	20020627

OTHER SOURCE(S): MARPAT 138:106714

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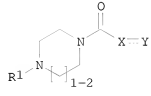

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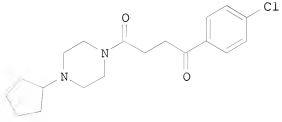

II

AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; X = (CH2)mZn(CR2R3)o(CH2)pVq (wherein m, p = 0-4; n, o, q = 0-1; Z, V = O, NH, CO, etc.; R2, R3 = H, alkyl, OH); Y = (un)substituted (hetero)aryl, cycloalkyl, cycloalkenyl; with the provisos], useful in the treatment of diseases and disorders related to overweight or **obesity** such as eating disorders, **diabetes** and impaired **glucose tolerance** (IGT), were prepared and formulated. Thus, amidation of 3-(4-chlorobenzoyl)-3-oxopropionic acid with 1-cyclopentylpiperazine afforded 88% II.HCl.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT